Ngrazier 10750466final and interm

A + B

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* * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 19:30:54 ON 29 JUN 2005

=> fil reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 19:30:59 ON 29 JUN 2005
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 28 JUN 2005 HIGHEST RN 853177-57-8
DICTIONARY FILE UPDATES: 28 JUN 2005 HIGHEST RN 853177-57-8

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

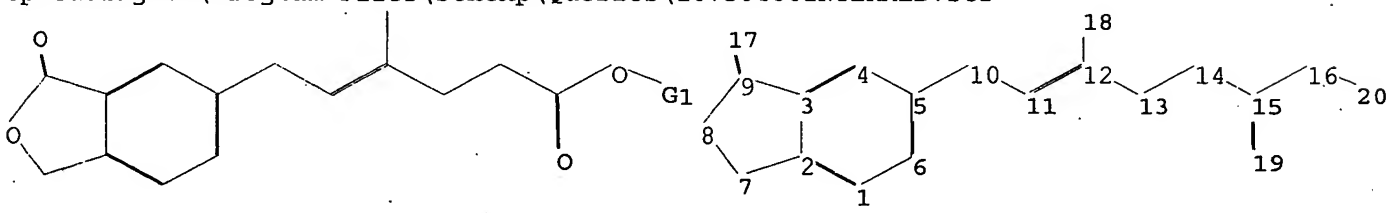
Please note that search-term pricing does apply when conducting SmartSELECT searches.

****
* *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
* *
****

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=>
Uploading C:\Program Files\Stnexp\Queries\10750466INTERMED.str



Ngrazier 10750466final and interm

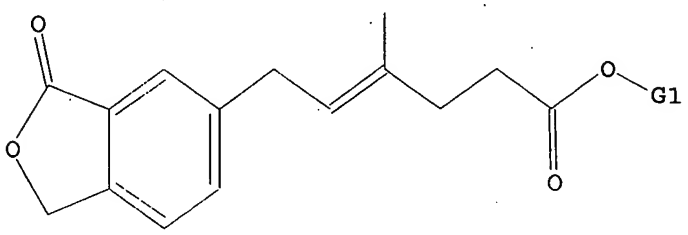
chain nodes :
10 11 12 13 14 15 16 17 18 19 20
ring nodes :
1 2 3 4 5 6 7 8 9
chain bonds :
5-10 9-17 10-11 11-12 12-13 12-18 13-14 14-15 15-16 15-19 16-20
ring bonds :
1-2 1-6 2-3 2-7 3-4 3-9 4-5 5-6 7-8 8-9
exact/norm bonds :
2-7 3-9 7-8 8-9 9-17 15-16 15-19 16-20
exact bonds :
5-10 10-11 11-12 12-13 12-18 13-14 14-15
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6

G1:CH3,Et,n-Pr,i-Pr,n-Bu,i-Bu,s-Bu,t-Bu

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS
20:CLASS

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



G1 Me,Et,n-Pr,i-Pr,n-Bu,i-Bu,s-Bu,t-Bu

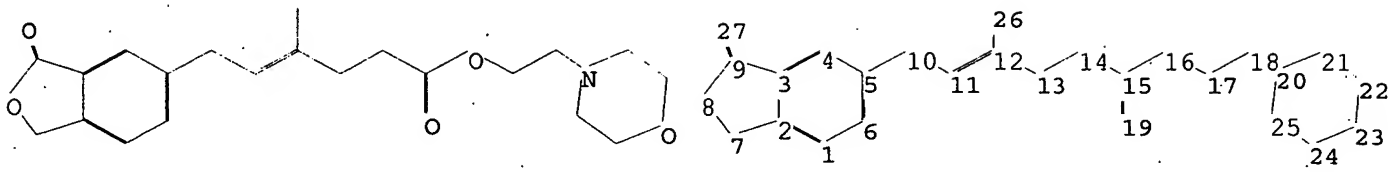
Structure attributes must be viewed using STN Express query preparation.

=> s l1 full
FULL SEARCH INITIATED 19:31:25 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 1166 TO ITERATE

100.0% PROCESSED 1166 ITERATIONS 274 ANSWERS
SEARCH TIME: 00.00.01

L2 274 SEA SSS FUL L1

=>
Uploading C:\Program Files\Stnexp\Queries\10750466.str

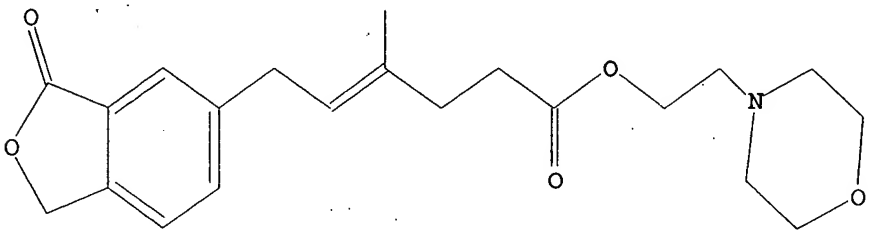


chain nodes :
10 11 12 13 14 15 16 17 18 19 26 27
ring nodes :
1 2 3 4 5 6 7 8 9 20 21 22 23 24 25
chain bonds :
5-10 9-27 10-11 11-12 12-13 12-26 13-14 14-15 15-16 15-19 16-17 17-18 18-20
ring bonds :
1-2 1-6 2-3 2-7 3-4 3-9 4-5 5-6 7-8 8-9 20-21 20-25 21-22 22-23 23-24
24-25
exact/norm bonds :
2-7 3-9 7-8 8-9 9-27 15-16 15-19 16-17 18-20 20-21 20-25 21-22 22-23 23-24
24-25
exact bonds :
5-10 10-11 11-12 12-13 12-26 13-14 14-15 17-18
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS
20:Atom 21:Atom 22:Atom 23:Atom 24:Atom 25:Atom 26:CLASS 27:CLASS

L3 STRUCTURE UPLOADED

=> d l3
L3 HAS NO ANSWERS
L3 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l3 full
FULL SEARCH INITIATED 19:32:16 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 45 TO ITERATE

100.0% PROCESSED 45 ITERATIONS 38 ANSWERS
SEARCH TIME: 00.00.01

Ngrazier 10750466final and interm

L4 38 SEA SSS FUL L3

=> fil caplus
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	323.09	323.30

FILE 'CAPLUS' ENTERED AT 19:32:37 ON 29 JUN 2005
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FILE COVERS 1907 - 29 Jun 2005 VOL 143 ISS 1
FILE LAST UPDATED: 28 Jun 2005 (20050628/ED)

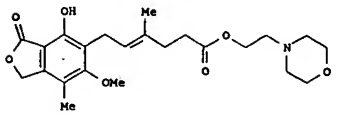
New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l2 and l4
81 L2
1633 L4
L5 9 L2 AND L4

=> d ed abs ibib hitstr 1-9

L5 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 27 Aug 2004
GI



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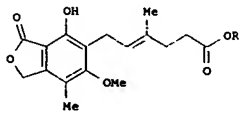


II

AB A process for making mycophenolate mofetil (I) comprising: conducting a catalytic transesterification by reacting a low-carbon alkyl ester of mycophenolic acid (II; R = Me, Et, Pr, Bu) with 2-morpholinoethanol [4-(2-hydroxyethyl)morpholine] to obtain a crude product of mycophenolate mofetil, which is then isolated and purified.

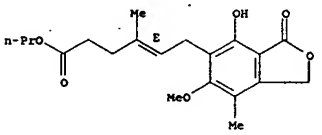
ACCESSION NUMBER: 2004:701805 CAPLUS
DOCUMENT NUMBER: 141:225522
TITLE: Process for making mycophenolate mofetil by transesterification
INVENTOR(S): Lee, Kwang-chung; Lin, Shu-chuan; Chiu, Ray-hwa
PATENT ASSIGNEE(S): Taiwan
SOURCE: U.S. Pat. Appl. Publ., 3 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

Appl.

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004167130	A1	20040826	US 2003-750466	20031229
TW 221414	B1	20041001	TW 2003-92103728	20030221

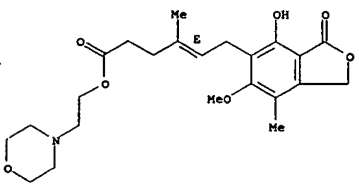
PRIORITY APPLN. INFO.: TW 2003-92103728 A 20030221
OTHER SOURCE(S): CASREACT 141:225522; MARPAT 141:225522
IT 31858-66-9, Methyl mycophenolate 32483-51-5, Ethyl mycophenolate 40336-78-5 745067-13-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(process for preparation of mycophenolate mofetil by transesterification of mycophenolic acid esters with morpholinoethanol)
RN 31858-66-9 CAPLUS
CN 4-Hexenoic acid, 6-(1,3-dihydro-4-hydroxy-6-methoxy-7-methyl-3-oxo-5-

L5 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



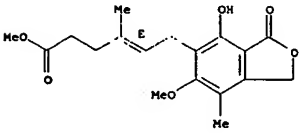
IT 128794-94-5P, Mycophenolate mofetil
RL: SPN (Synthetic preparation); PREP (Preparation)
(process for preparation of mycophenolate mofetil by transesterification of mycophenolic acid esters with morpholinoethanol)
RN 128794-94-5 CAPLUS
CN 4-Hexenoic acid, 6-(1,3-dihydro-4-hydroxy-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl)-4-methyl-, 2-(4-morpholinyl)ethyl ester, (4E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



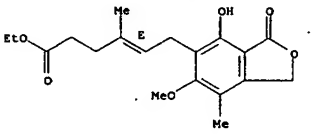
L5 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
isobenzofuranyl)-4-methyl-, methyl ester, (4E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



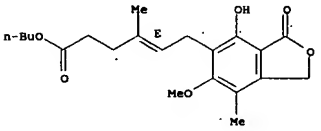
RN 32483-51-5 CAPLUS
CN 4-Hexenoic acid, 6-(1,3-dihydro-4-hydroxy-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl)-4-methyl-, ethyl ester, (4E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 40336-78-5 CAPLUS
CN 4-Hexenoic acid, 6-(1,3-dihydro-4-hydroxy-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl)-4-methyl-, butyl ester, (4E)- (9CI) (CA INDEX NAME)

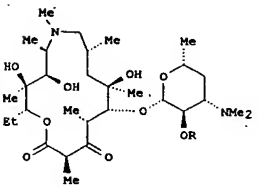
Double bond geometry as shown.



RN 745067-13-4 CAPLUS
CN 4-Hexenoic acid, 6-(1,3-dihydro-4-hydroxy-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl)-4-methyl-, propyl ester, (4E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

L5 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 29 Aug 2003
GI



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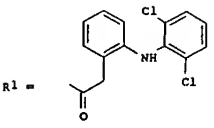


R1 =

AB Erythromycin macrolide conjugates T-(L-C)m, wherein T is a transportophore, L is a bond or a linker having a mol. weight up to 240 dalton, C is a non-antibiotic therapeutic agent, and m is 1-8, in which the transportophore has an immune selectivity ratio of at least 2, the transportophore is covalently bonded to the non-antibiotic therapeutic agent via the bond or the linker, and the compound has an immune selectivity ratio of at least 2, useful for enhancing efficacy of a therapeutic agent. Thus, macrolide I (R = R1) was prepared in 76% yield via coupling of I (R = H) with diclofenac as antitumor and antibacterial agent and was tested in vitro for its cytotoxicity and immunosuppressive activity using a mouse skin transplant model.

ACCESSION NUMBER: 2003:678606 CAPLUS
DOCUMENT NUMBER: 139:197709
TITLE: macrolide erythromycin conjugates of biologically active compounds, methods for their preparation and use, formulation, and pharmaceutical applications thereof
INVENTOR(S): Burnet, Michael; Guse, Jan-Hinrich; Gutke, Hans-Jurgen; Beck, Albert; Tsotsou, Georgia; Droste-Borel, Irina; Reichert, Jeannette; Luyten, Kattie; Busch, Maximilian; Wolff, Michael; Khobzaoui, Moussa; Margutti, Simona; Meindl, Thomas; Kim, Gene; Barker, Laurence
PATENT ASSIGNEE(S): Sympore G.m.b.H., Germany
SOURCE: PCT Int. Appl., 183 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

L5 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003070174	A2	20030828	WO 2003-US4609	20030214
WO 2003070174	A3	20031113		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2476423	AA	20030828	CA 2003-2476423	20030214
EP 1483277	A2	20041208	EP 2003-716044	20030214

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

PRIORITY APPLN. INFO.:	US 2002-357434P	P 20020215
	WO 2003-US4609	W 20030214

OTHER SOURCE(S): MARPAT 139:197709

IT **586411-53-2P 586411-78-1P**
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(macrolide erythromycin conjugates of biol. active compds. methods for their preparation and use formulation and pharmaceutical applications thereof)

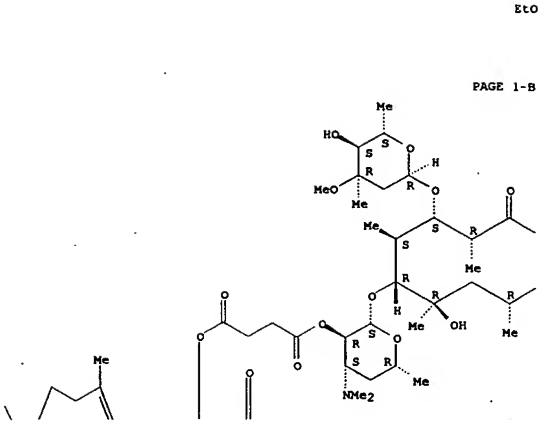
RN 586411-53-2 CAPLUS

CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-3,5,6,8,10,12,14-heptamethyl-11-[[3,4,6-trideoxy-3-(dimethylamino)-2-O-[4-[[5-[(2E)-6-ethoxy-3-methyl-6-oxo-2-hexenyl]-1,3-dihydro-6-methoxy-7-methyl-3-oxo-4-isobenzofuranyl]oxy]-1,4-dioxobutyl]-β-D-xylo-hexopyranosyl]oxy]-, (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L5 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

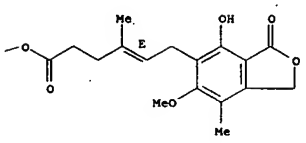
PAGE 1-A



PAGE 1-B

L5 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 1-B

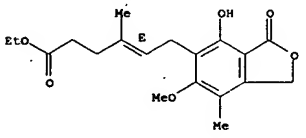


IT **32483-51-5**
RL: RCT (Reactant); RACT (Reactant or reagent)
(macrolide erythromycin conjugates of biol. active compds. methods for their preparation and use formulation and pharmaceutical applications thereof)

RN 32483-51-5 CAPLUS

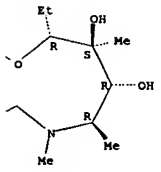
CN 4-Hexenoic acid, 6-(1,3-dihydro-4-hydroxy-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl)-4-methyl-, ethyl ester, (4E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

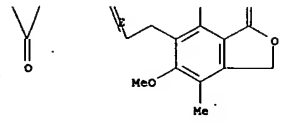


L5 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 1-C



PAGE 2-B

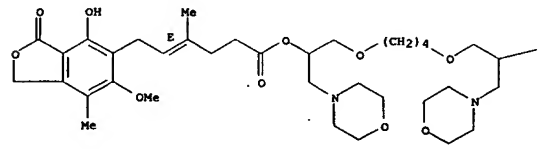


RN 586411-78-1 CAPLUS

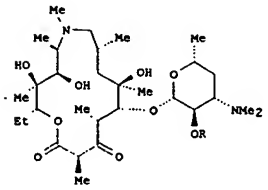
CN 4-Hexenoic acid, 6-(1,3-dihydro-4-hydroxy-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl)-4-methyl-, 1,4-butanediylbis[oxy[1-(4-morpholinylmethyl)-2,1-ethanediyl]] ester, (4E,4'E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-A



L5 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 29 Aug 2003
GI



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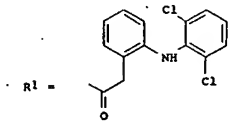


AB Erythromycin macrolide conjugates T-(L-C)m, wherein T is a transportophore, L is a bond or a linker having a mol. weight up to 240 dalton, C is a non-antibiotic therapeutic agent, and m is 1-8, in which the transportophore has an immune selectivity ratio of at least 2, the transportophore is covalently bonded to the non-antibiotic therapeutic agent via the bond or the linker, and the compound has an immune selectivity ratio of at least 2, useful for enhancing efficacy of a therapeutic agent. Thus, macrolide I (R = R1) was prepared in 76% yield via coupling of I (R = H) with diclofenac as antitumor and antibacterial agent and was tested in vitro for its cytotoxicity and immunosuppressive activity using a mouse skin transplant model.

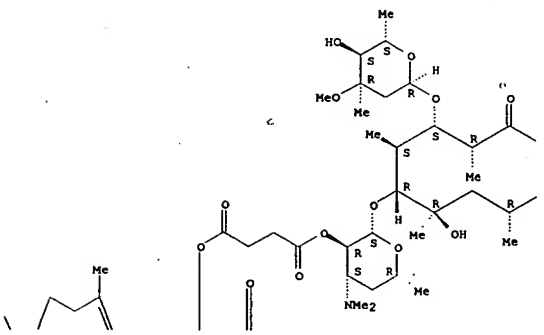
ACCESSION NUMBER: 2003:678605 CAPLUS
DOCUMENT NUMBER: 139:197708
TITLE: macrolide erythromycin conjugates of biologically active compounds, methods for their preparation and use, formulation, and pharmaceutical applications thereof
INVENTOR(S): Burnet, Michael; Guse, Jan-Hinrich; Kim, Gene; Beck, Albert; Tsotsou, Georgia; Droste-Borel, Irina; Barker, Laurence; Wolff, Michael; Gutke, Hans-Jurgen
PATENT ASSIGNEE(S): Sympore G.m.b.H., Germany
SOURCE: PCT Int. Appl., 164 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

L5 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 1-A

EtO

PAGE 1-B



L5 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003070173	A2	20030828	WO 2003-US4596	20030214
WO 2003070173	A3	20031204		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004005641	A1	20040108	US 2003-367624	20030214
EP 1483579	A2	20041208	EP 2003-711061	20030214
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				

PRIORITY APPLN. INFO.: US 2002-357589P P 20020215
WO 2003-US4596 W 20030214

OTHER SOURCE(S): MARPAT 139:197708

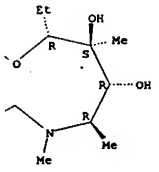
IT 586411-53-2P 586411-78-1P
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(macrolide erythromycin conjugates of biol. active compds. methods for their preparation and use formulation and pharmaceutical applications thereof)

RN 586411-53-2 CAPLUS
CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-3,5,6,8,10,12,14-heptamethyl-11-[[3,4,6-trideoxy-3-(dimethylamino)-2-O-[4-[(5-[(2E)-6-ethoxy-3-methyl-6-oxo-2-hexenyl]-1,3-dihydro-6-methoxy-7-methyl-3-oxo-4-isobenzofuranyl]oxy]-1,4-dioxobutyl]-β-D-xylo-hexopyranosyl]oxy]-, (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

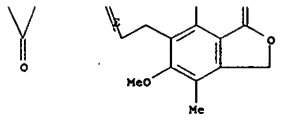
Absolute stereochemistry.
Double bond geometry as shown.

L5 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 1-C



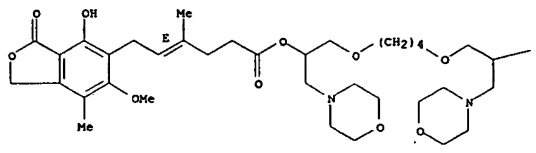
PAGE 2-B



RN 586411-78-1 CAPLUS
CN 4-Hexenoic acid, 6-(1,3-dihydro-4-hydroxy-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl)-4-methyl-, 1,4-butanediylbis[oxy[1-(4-morpholinylmethyl)-2,1-ethanediyl]] ester, (4E,4'E)- (9CI) (CA INDEX NAME)

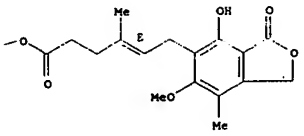
Double bond geometry as shown.

PAGE 1-A



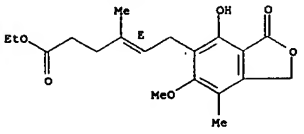
L5 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 1-B

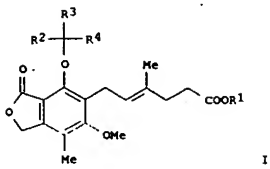


IT 32483-51-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(macrolide erythromycin conjugates of biol. active compds. methods for their preparation and use formulation and pharmaceutical applications thereof)
RN 32483-51-5 CAPLUS
CN 4-Hexenoic acid, 6-(1,3-dihydro-4-hydroxy-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl)-4-methyl-, ethyl ester, (4E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L5 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 01 May 1997
GI



I

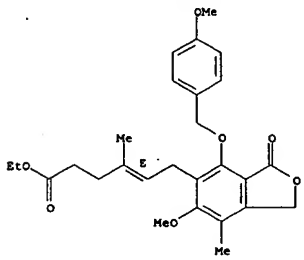
AB Title compds. I [R1 = H, alkyl; R2, R3 = H, Me, etc.; R4 = (un)substituted alkyl, (un)substituted alkenyl, (un)substituted alkynyl, (un)substituted Ph, (un)substituted heterocyclyl, alkoxy, (un)substituted phenoxy, etc.] are prepared and their absorption and toxicity were studied. Thus, stirring a mixture of Et mycophenolate and 4-methoxybenzyl chloride in DMF containing K2CO3 at room temperature for 40 h gave 90% I [R1 = Et, OR2R3R4 = O-CH2-C6H4-OMe-p]. I [R1 = H, OR2R3R4 = O-CH2-C6H4-OMe-o], also prepared, showed absorption comparable to that of mycophenolic acid; its toxicity to the small intestine as indicated by the activity of alkaline phosphatase was comparable to that of mofetil mycophenolate.
ACCESSION NUMBER: 1997:278841 CAPLUS
DOCUMENT NUMBER: 126:277343
TITLE: Preparation of mycophenolic acid derivatives as immunosuppressants
INVENTOR(S): Iino, Yukio; Fujita, Koichi; Tsuji, Hisashi; Shiozaki, Makoto; Ishizaki, Sonoko
PATENT ASSIGNEE(S): Ajinomoto KK, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 19 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09067358	A2	19970311	JP 1995-226579	19950904
PRIORITY APPLN. INFO.:			JP 1995-226579	19950904

OTHER SOURCE(S): MARPAT 126:277343
IT 188711-39-9P 188711-40-2P 188711-41-3P
188711-42-4P 188711-43-5P 188711-44-6P
188711-45-7P 188711-46-8P 188711-47-9P
188711-48-0P 188711-49-1P 188711-50-4P
188711-51-5P 188711-52-6P 188711-53-7P
188711-54-8P 188711-55-9P 188711-56-0P
188711-57-1P 188711-58-2P 188711-59-3P
188711-60-6P 188711-61-7P 188711-62-8P
188711-63-9P 188711-64-0P 188711-65-1P

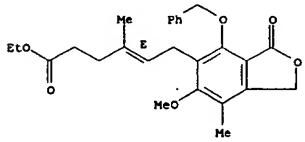
L5 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
188712-01-8P 188712-03-0P
RL: ADV (Adverse effect, including toxicity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of mycophenolic acid derivs. as immunosuppressants)
RN 188711-39-9 CAPLUS
CN 4-Hexenoic acid, 6-[1,3-dihydro-6-methoxy-4-[(4-methoxyphenyl)methoxy]-7-methyl-3-oxo-5-isobenzofuranyl]-4-methyl-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 188711-40-2 CAPLUS
CN 4-Hexenoic acid, 6-[1,3-dihydro-6-methoxy-7-methyl-3-oxo-4-(phenylmethoxy)-5-isobenzofuranyl]-4-methyl-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

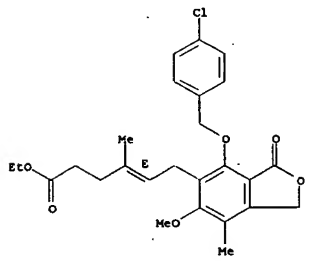
Double bond geometry as shown.



RN 188711-41-3 CAPLUS
CN 4-Hexenoic acid, 6-[4-[(4-chlorophenyl)methoxy]-1,3-dihydro-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl]-4-methyl-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

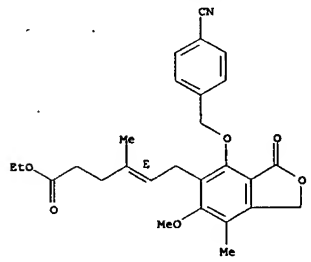
Double bond geometry as shown.

L5 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 188711-42-4 CAPLUS
CN 4-Hexenoic acid, 6-[4-[(4-cyanophenyl)methoxy]-1,3-dihydro-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl]-4-methyl-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

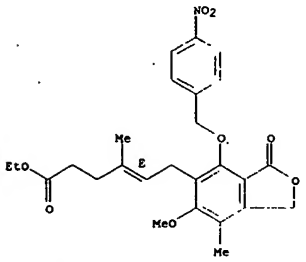
Double bond geometry as shown.



RN 188711-43-5 CAPLUS
CN 4-Hexenoic acid, 6-[1,3-dihydro-6-methoxy-7-methyl-4-[(4-nitrophenyl)methoxy]-3-oxo-5-isobenzofuranyl]-4-methyl-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

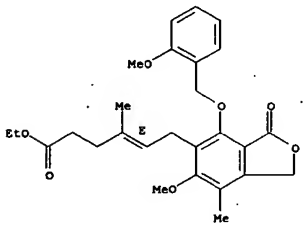
Double bond geometry as shown.

L5 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 188711-44-6 CAPLUS
CN 4-Hexenoic acid, 6-[1,3-dihydro-6-methoxy-4-[(2-methoxyphenyl)methoxy]-7-methyl-3-oxo-5-isobenzofuranyl]-4-methyl-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

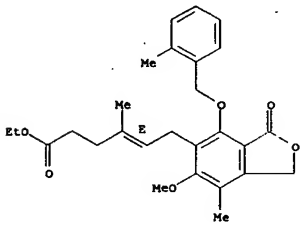
Double bond geometry as shown.



RN 188711-45-7 CAPLUS
CN 4-Hexenoic acid, 6-[1,3-dihydro-6-methoxy-4-[(3-methoxyphenyl)methoxy]-7-methyl-3-oxo-5-isobenzofuranyl]-4-methyl-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

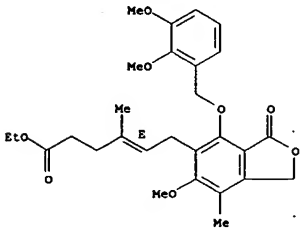
Double bond geometry as shown.

L5 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 188711-48-0 CAPLUS
CN 4-Hexenoic acid, 6-[4-[(2,3-dimethoxyphenyl)methoxy]-1,3-dihydro-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl]-4-methyl-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

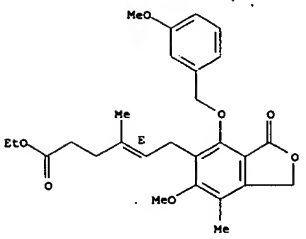
Double bond geometry as shown.



RN 188711-49-1 CAPLUS
CN 4-Hexenoic acid, 6-[4-[(2,4-dimethoxyphenyl)methoxy]-1,3-dihydro-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl]-4-methyl-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

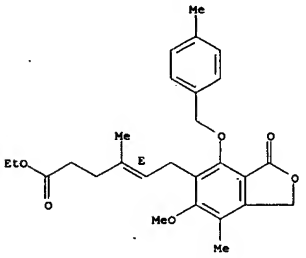
Double bond geometry as shown.

L5 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 188711-46-8 CAPLUS
CN 4-Hexenoic acid, 6-[1,3-dihydro-6-methoxy-7-methyl-4-[(4-methylphenyl)methoxy]-3-oxo-5-isobenzofuranyl]-4-methyl-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

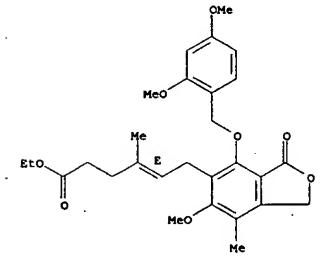
Double bond geometry as shown.



RN 188711-47-9 CAPLUS
CN 4-Hexenoic acid, 6-[1,3-dihydro-6-methoxy-7-methyl-4-[(2-methylphenyl)methoxy]-3-oxo-5-isobenzofuranyl]-4-methyl-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

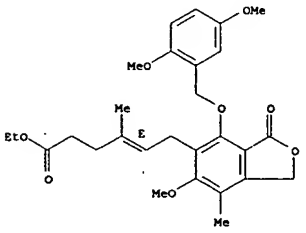
Double bond geometry as shown.

L5 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 188711-50-4 CAPLUS
CN 4-Hexenoic acid, 6-[4-[(2,5-dimethoxyphenyl)methoxy]-1,3-dihydro-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl]-4-methyl-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

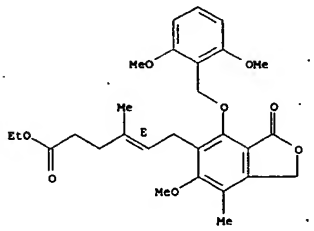
Double bond geometry as shown.



RN 188711-51-5 CAPLUS
CN 4-Hexenoic acid, 6-[4-[(2,6-dimethoxyphenyl)methoxy]-1,3-dihydro-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl]-4-methyl-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

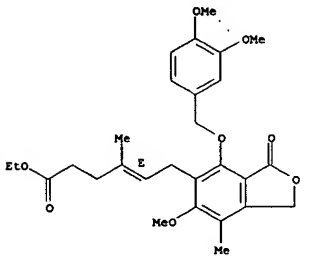
Double bond geometry as shown.

L5 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 188711-52-6 CAPLUS
CN 4-Hexenoic acid, 6-[4-[(3,4-dimethoxyphenyl)methoxy]-1,3-dihydro-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl]-4-methyl-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

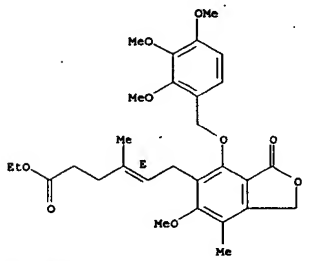
Double bond geometry as shown.



RN 188711-53-7 CAPLUS
CN 4-Hexenoic acid, 6-[4-[(3,5-dimethoxyphenyl)methoxy]-1,3-dihydro-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl]-4-methyl-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

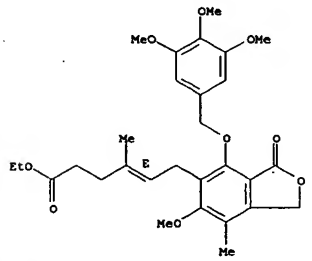
Double bond geometry as shown.

L5 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 188711-56-0 CAPLUS
CN 4-Hexenoic acid, 6-[1,3-dihydro-6-methoxy-7-methyl-3-oxo-4-[(3,4,5-trimethoxyphenyl)methoxy]-5-isobenzofuranyl]-4-methyl-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

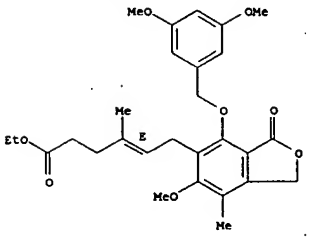
Double bond geometry as shown.



RN 188711-57-1 CAPLUS
CN 4-Hexenoic acid, 6-[1,3-dihydro-6-methoxy-7-methyl-3-oxo-4-(3-pyridinylmethoxy)-5-isobenzofuranyl]-4-methyl-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

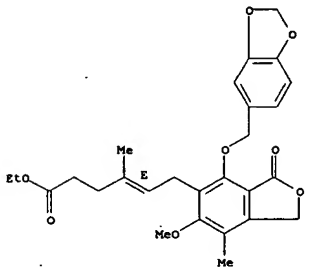
Double bond geometry as shown.

L5 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 188711-54-8 CAPLUS
CN 4-Hexenoic acid, 6-[4-(1,3-benzodioxol-5-ylmethoxy)-1,3-dihydro-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl]-4-methyl-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

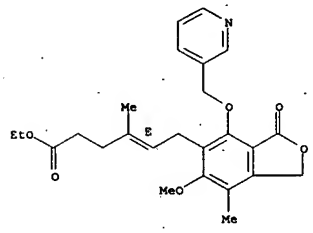
Double bond geometry as shown.



RN 188711-55-9 CAPLUS
CN 4-Hexenoic acid, 6-[1,3-dihydro-6-methoxy-7-methyl-3-oxo-4-[(2,3,4-trimethoxyphenyl)methoxy]-5-isobenzofuranyl]-4-methyl-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

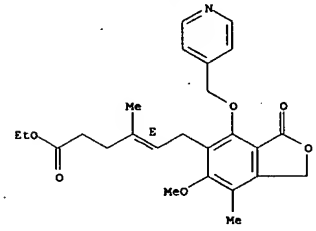
Double bond geometry as shown.

L5 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 188711-58-2 CAPLUS
CN 4-Hexenoic acid, 6-[1,3-dihydro-6-methoxy-7-methyl-3-oxo-4-(4-pyridinylmethoxy)-5-isobenzofuranyl]-4-methyl-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

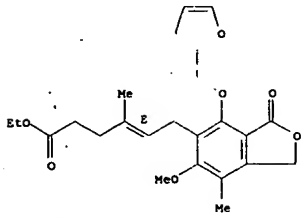
Double bond geometry as shown.



RN 188711-59-3 CAPLUS
CN 4-Hexenoic acid, 6-[4-(2-furanylmethoxy)-1,3-dihydro-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl]-4-methyl-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

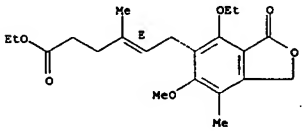
Double bond geometry as shown.

L5 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



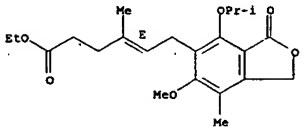
RN 188711-60-6 CAPLUS
CN 4-Hexenoic acid, 6-(4-ethoxy-1,3-dihydro-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl)-4-methyl-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 188711-61-7 CAPLUS
CN 4-Hexenoic acid, 6-[1,3-dihydro-6-methoxy-7-methyl-4-(1-methylethoxy)-3-oxo-5-isobenzofuranyl]-4-methyl-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

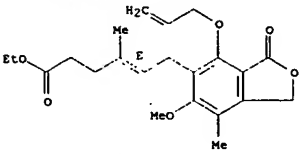
Double bond geometry as shown.



RN 188711-62-8 CAPLUS
CN 4-Hexenoic acid, 6-[1,3-dihydro-6-methoxy-7-methyl-3-oxo-4-(2-propenyloxy)-5-isobenzofuranyl]-4-methyl-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

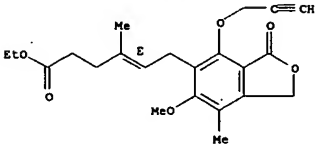
Double bond geometry as shown.

L5 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



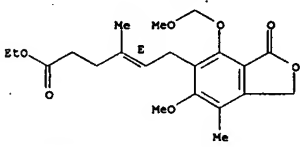
RN 188711-63-9 CAPLUS
CN 4-Hexenoic acid, 6-[1,3-dihydro-6-methoxy-7-methyl-3-oxo-4-(2-propynyloxy)-5-isobenzofuranyl]-4-methyl-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 188711-64-0 CAPLUS
CN 4-Hexenoic acid, 6-[1,3-dihydro-6-methoxy-4-(methoxymethoxy)-7-methyl-3-oxo-5-isobenzofuranyl]-4-methyl-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

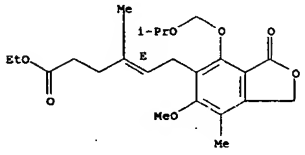
Double bond geometry as shown.



RN 188711-65-1 CAPLUS
CN 4-Hexenoic acid, 6-[1,3-dihydro-6-methoxy-7-methyl-4-[(1-methylethoxy)methoxy]-3-oxo-5-isobenzofuranyl]-4-methyl-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

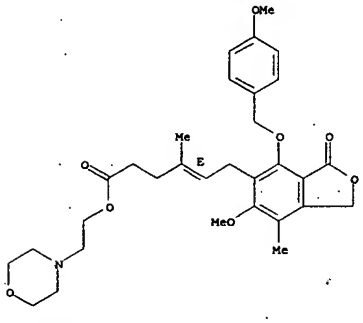
Double bond geometry as shown.

L5 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 188712-01-8 CAPLUS
CN 4-Hexenoic acid, 6-[1,3-dihydro-6-methoxy-4-[(4-methoxyphenyl)methoxy]-7-methyl-3-oxo-5-isobenzofuranyl]-4-methyl-, 2-(4-morpholinyl)ethyl ester, (E)- (9CI) (CA INDEX NAME)

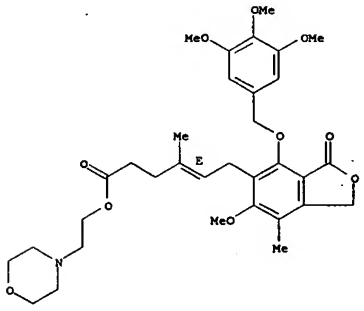
Double bond geometry as shown.



RN 188712-03-0 CAPLUS
CN 4-Hexenoic acid, 6-[1,3-dihydro-6-methoxy-7-methyl-3-oxo-4-[(3,4,5-trimethoxyphenyl)methoxy]-5-isobenzofuranyl]-4-methyl-, 2-(4-morpholinyl)ethyl ester, (E)- (9CI) (CA INDEX NAME)

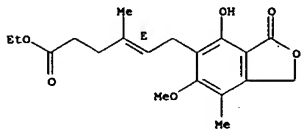
Double bond geometry as shown.

L5 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



IT 32483-51-5, Ethyl mycophenolate 128794-94-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of mycophenolic acid derivs. as immunosuppressants)
RN 32483-51-5 CAPLUS
CN 4-Hexenoic acid, 6-(1,3-dihydro-4-hydroxy-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl)-4-methyl-, ethyl ester, (4E)- (9CI) (CA INDEX NAME)

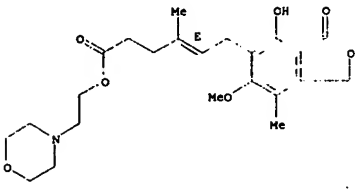
Double bond geometry as shown.



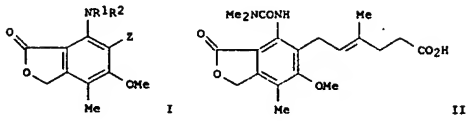
RN 128794-94-5 CAPLUS
CN 4-Hexenoic acid, 6-(1,3-dihydro-4-hydroxy-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl)-4-methyl-, 2-(4-morpholinyl)ethyl ester, (4E)- (9CI). (CA INDEX NAME)

Double bond geometry as shown.

L5 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



L5 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 22 Dec 1995
GI



AB Mycophenolic acid derivs. I [R1 = H, alkyl; R2 = H, alkyl, acyl, carbamoyl; Z = (un)substituted carboxypentenyl] are therapeutic agents advantageous in the treatment of disease states indicated for mycophenolic acid and/or mycophenolate mofetil and other immunosuppressant agents. Thus, the urea II was obtained from mycophenolic acid in 8 steps. II had an IMP dehydrogenase-inhibiting IC50 of 27.6 μM.

ACCESSION NUMBER: 1995:994343 CAPLUS
DOCUMENT NUMBER: 124:55683
TITLE: 4-amino derivatives of 5-substituted mycophenolic acid
INVENTOR(S): Artis, Dean R.; Elworthy, Todd R.; Hawley, Ronald C.; Loughhead, David G.; Morgans, David J., Jr.; Nelson, Peter H.; Patterson, John W., Jr.; Sjogren, Eric B.; Smith, David B.; et al.
PATENT ASSIGNEE(S): Syntex (U.S.A.) Inc., USA
SOURCE: PCT Int. Appl., 123 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

5,538,969

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9522537	A2	19950824	WO 1995-US1786	19950216
WO 9522537	A3	19951026		
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, UZ				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5512568	A	19960430	US 1994-198732	19940218
CA 2183531	AA	19950824	CA 1995-2183531	19950216
AU 9518753	A1	19950904	AU 1995-18753	19950216
ZA 9501293	A	19960816	ZA 1995-1293	19950216
EP 745072	A1	19961204	EP 1995-910983	19950216
EP 745072	B1	19980506		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CN 1141039	A	19970122	CN 1995-191688	19950216
JP 09509173	T2	19970916	JP 1995-521867	19950216
BR 9506838	A	19970930	BR 1995-6838	19950216
AT 165826	E	19980515	AT 1995-910983	19950216
ES 2116078	T3	19980701	ES 1995-910983	19950216
IL 112666	A1	20000131	IL 1995-112666	19950216
TW 438788	B	20010607	TW 1995-84101405	19950216
US 5538969	A	19960723	US 1995-452245	19950526
FI 9603220	A	19961016	FI 1996-3220	19960816
LV 12149	B	19981220	LV 1998-157	19980727
PRIORITY APPLN. INFO.:			US 1994-198732 A	19940218
			WO 1995-US1786 W	19950216

Make deriv ester

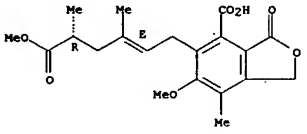
L5 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

OTHER SOURCE(S): MARPAT 124:55683

IT 171962-50-8 171962-51-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation and immunosuppressant activity of 4-aminomycophenolic acids)

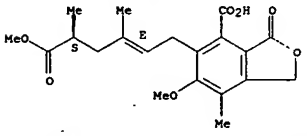
RN 171962-50-8 CAPLUS
CN 4-Isobenzofurancarboxylic acid, 1,3-dihydro-6-methoxy-5-(6-methoxy-3,5-dimethyl-6-oxo-2-hexenyl)-7-methyl-3-oxo-, [R-(E)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 171962-51-9 CAPLUS
CN 4-Isobenzofurancarboxylic acid, 1,3-dihydro-6-methoxy-5-(6-methoxy-3,5-dimethyl-6-oxo-2-hexenyl)-7-methyl-3-oxo-, [S-(E)]- (9CI) (CA INDEX NAME)

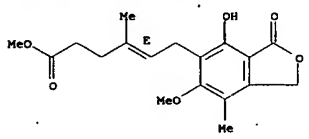
Absolute stereochemistry.
Double bond geometry as shown.



IT 31858-66-9P 162638-64-4P 162638-65-5P
162638-67-7P 162638-68-8P 162638-70-2P
162638-72-4P 162638-74-6P 162638-75-7P
162638-79-1P 171808-45-0P 171808-52-9P
171808-58-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and immunosuppressant activity of 4-aminomycophenolic acids)

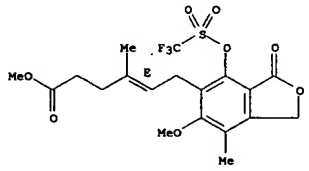
RN 31858-66-9 CAPLUS
CN 4-Hexenoic acid, 6-(1,3-dihydro-4-hydroxy-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl)-4-methyl-, methyl ester, (4E)- (9CI) (CA INDEX NAME)

L5 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
Double bond geometry as shown.



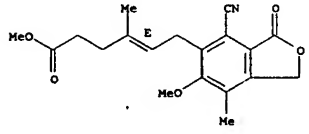
RN 162638-64-4 CAPLUS
CN 4-Hexenoic acid, 6-[1,3-dihydro-6-methoxy-7-methyl-3-oxo-4-[[(trifluoromethyl)sulfonyl]oxy]-5-isobenzofuranyl]-4-methyl-, methyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 162638-65-5 CAPLUS
CN 4-Hexenoic acid, 6-(4-cyano-1,3-dihydro-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl)-4-methyl-, methyl ester, (E)- (9CI) (CA INDEX NAME)

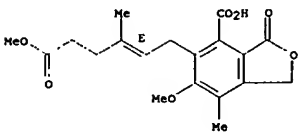
Double bond geometry as shown.



RN 162638-67-7 CAPLUS
CN 4-Isobenzofurancarboxylic acid, 1,3-dihydro-6-methoxy-5-(6-methoxy-3-methyl-6-oxo-2-hexenyl)-7-methyl-3-oxo-, (E)- (9CI) (CA INDEX NAME)

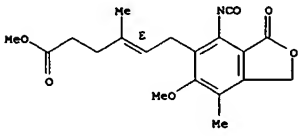
Double bond geometry as shown.

L5 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



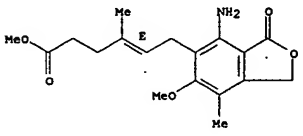
RN 162638-68-8 CAPLUS
CN 4-Hexenoic acid, 6-(1,3-dihydro-4-isocyanato-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl)-4-methyl-, methyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 162638-70-2 CAPLUS
CN 4-Hexenoic acid, 6-(4-amino-1,3-dihydro-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl)-4-methyl-, methyl ester, (E)- (9CI) (CA INDEX NAME)

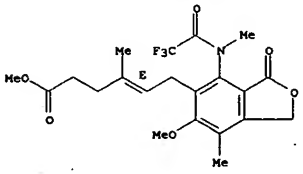
Double bond geometry as shown.



RN 162638-72-4 CAPLUS
CN 4-Hexenoic acid, 6-[4-[[(dimethylamino)carbonyl]amino]-1,3-dihydro-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl]-4-methyl-, methyl ester, (E)- (9CI) (CA INDEX NAME)

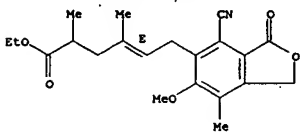
Double bond geometry as shown.

L5 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
Double bond geometry as shown.



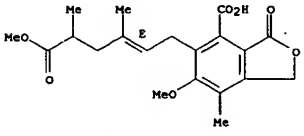
RN 171808-45-0 CAPLUS
CN 4-Hexenoic acid, 6-(4-cyano-1,3-dihydro-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl)-2,4-dimethyl-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 171808-52-9 CAPLUS
CN 4-Isobenzofurancarboxylic acid, 1,3-dihydro-6-methoxy-5-(6-methoxy-3,5-dimethyl-6-oxo-2-hexenyl)-7-methyl-3-oxo-, (E)- (9CI) (CA INDEX NAME)

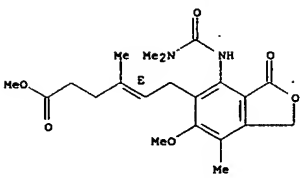
Double bond geometry as shown.



RN 171808-58-5 CAPLUS
CN 4-Hexenoic acid, 6-(1,3-dihydro-4-hydroxy-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl)-2,4-dimethyl-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

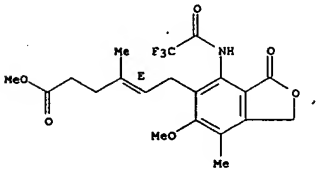
Double bond geometry as shown.

L5 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



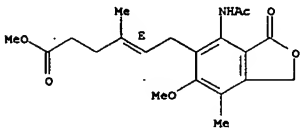
RN 162638-74-6 CAPLUS
CN 4-Hexenoic acid, 6-[1,3-dihydro-6-methoxy-7-methyl-3-oxo-4-[(trifluoroacetyl)amino]-5-isobenzofuranyl]-4-methyl-, methyl ester, (E)- (9CI). (CA INDEX NAME)

Double bond geometry as shown.



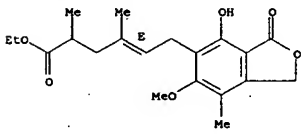
RN 162638-75-7 CAPLUS
CN 4-Hexenoic acid, 6-[4-(acetylamino)-1,3-dihydro-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl]-4-methyl-, methyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



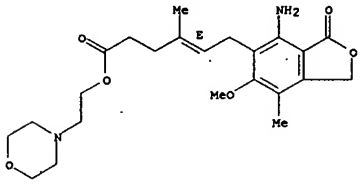
RN 162638-79-1 CAPLUS
CN 4-Hexenoic acid, 6-[1,3-dihydro-6-methoxy-7-methyl-4-[methyl(trifluoroacetyl)amino]-3-oxo-5-isobenzofuranyl]-4-methyl-, methyl ester, (E)- (9CI) (CA INDEX NAME)

L5 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



IT 162638-71-3P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and immunosuppressant activity of 4-aminomycophenolic acids)
RN 162638-71-3 CAPLUS
CN 4-Hexenoic acid, 6-(4-amino-1,3-dihydro-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl)-4-methyl-, 2-(4-morpholinyl)ethyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L5 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 22 Dec 1995
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

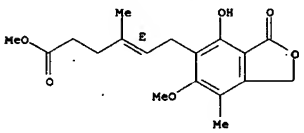
AB A pharmaceutical composition comprising 5-substituted derivs. I of mycophenolic acid, where R1 = H, COR10, R10 = lower alkyl, aryl or NH-aryl; Z = CH2CH:CZ1CHZ2CZ3Z4COG, ZB, ZC, ZD, ZE, ZF, ZG, or ZH; Z1 = H, lower alkyl, halo, CF3; Z2 = H, OH, lower alkyl, lower alkoxy, aryl, or CH2Z13, Z13 = halo, CN, aryl, heteroaryl; Z3 = H, OH, lower alkyl, lower alkenyl, lower alkoxy, halo, Ph, P(O)(OMe)2, P(O)(OH)(OMe), NHZ11, SH, SOmZ12, Z11 = H, alkyl, acyl lower alkyl sulfonyl, Z12 = lower alkyl, m = 0-2; Z4 = H, OH, lower alkyl, halo, Ph, where Z4 is not OH or halo when Z3 = OH, halo, P(O)(OMe)2, P(O)(OH)(OMe), NHZ11, SZ12; Z3Z4 = cycloalkyl of 3-5 carbons; G = OH, lower alkoxy, lower thioalkyl, NG1G2, O(CH2)nNG1G2, O(CH2)nN:G3, n = 1-6, G1,G2 = H, lower alkyl, :G3 = lower alkylene of 4-6 carbons or of 3-5 carbons and one of O, S, NG4, G4 = H, lower alkyl; provided that when Z1 = Me, Z2, Z3 and Z4 are not all H and when R1, Z3, Z4 are all H and Z1 = Me, Z2 is not H or OH; for ZB, Z5 = H or lower alkyl; Z8 = H, lower alkyl or forms double bond with D2; D1D2 form a substituted or unsatd. or unsatd. carbocyclic or heterocyclic ring of 3-7 atoms; for ZC, Z8 = H or lower alkyl; for ZD, D3 = CH2 CH2CH2; for ZE, Z6 = H, lower alkyl, lower alkoxy, CO2H, NH2, N3, or halo; Z7 = H, lower alkyl, lower alkoxy, or halo; for ZH, D4 = (CH2)y, O, OCH2, y = 1-3. The disclosed hexenoic acid side-chain derivs. of mycophenolic acid are therapeutic agents advantageous in the treatment of disease states indicated for mycophenolic acid and/or mycophenolate mofetil, including immune, inflammatory, tumor, proliferative, viral or psoriatic disorders.

ACCESSION NUMBER: 1995:994342 CAPLUS
DOCUMENT NUMBER: 124:86709
TITLE: 5-substituted derivatives of mycophenolic acid
INVENTOR(S): Artis, Dean R.; Elworthy, Todd R.; Hawley, Ronald C.; Loughhead, David G.; Morgans, David J., Jr.; Nelson, Peter H.; Patterson, John W., Jr.; Rohloff, John C.; Sjogren, Eric B.; et al.
PATENT ASSIGNEE(S): Syntex (U.S.A.) Inc., USA
SOURCE: PCT Int. Appl., 142 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9522538	A1	19950824	WO 1995-US1787	19950216
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, UG				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5493030	A	19960220	US 1994-198749	19940218
CA 2183530	AA	19950824	CA 1995-2183530	19950216

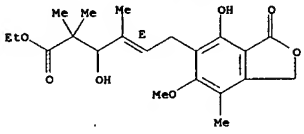
L5 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
isobenzofuranyl)-4-methyl-, methyl ester, (4E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



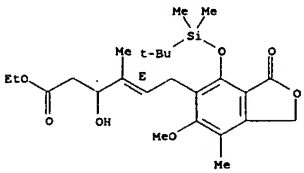
RN 172151-41-6 CAPLUS
CN 4-Hexenoic acid, 6-(1,3-dihydro-4-hydroxy-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl)-3-hydroxy-2,2,4-trimethyl-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 172151-44-9 CAPLUS
CN 4-Hexenoic acid, 6-[4-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-1,3-dihydro-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl]-3-hydroxy-4-methyl-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



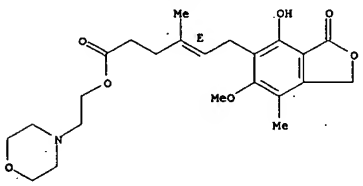
RN 172151-52-9 CAPLUS
CN 4-Hexenoic acid, 2-amino-6-(1,3-dihydro-4-hydroxy-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl)-4-methyl-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

L5 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
AU 9518754 A1 19950904 AU 1995-18754 19950216
ZA 9501299 A 19960816 ZA 1995-1299 19950216
EP 745073 A1 19961204 EP 1995-910984 19950216
EP 745073 B1 20000712
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE
CN 1141038 A 19970122 CN 1995-191654 19950216
BR 9506819 A 19970909 BR 1995-6819 19950216
JP 09509174 T2 19970916 JP 1995-521868 19950216
IL 112665 A1 19990509 IL 1995-112665 19950216
IL 124139 A1 20000229 IL 1995-124139 19950216
TW 384288 B 20000311 TW 1995-84101398 19950216
AT 194608 E 20000715 AT 1995-910984 19950216
ES 2149971 T3 20001116 ES 1995-910984 19950216
PT 745073 T 20001229 PT 1995-910984 19950216
HR 950070 B1 20010228 HR 1995-950070 19950216
US 5633279 A 19970527 US 1995-483042 19950606
FI 9603218 A 19961011 FI 1996-3218 19960816
GR 3033864 T3 20001031 GR 2000-401101 20000713
PRIORITY APPLN. INFO.: US 1994-198749 A 19940218
IL 1995-112665 A3 19950216
WO 1995-US1787 W 19950216

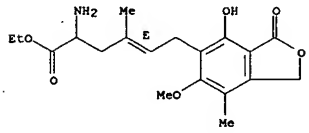
OTHER SOURCE(S): MARPAT 124:86709
IT 128794-94-5DP, Mycophenolate mofetil, 5-substituted analogs
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); IMF (Industrial manufacture); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 5-substituted derivs. of mycophenolic acid as therapeutic agents for treatment of disease states)
RN 128794-94-5 CAPLUS
CN 4-Hexenoic acid, 6-(1,3-dihydro-4-hydroxy-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl)-4-methyl-, 2-(4-morpholinyl)ethyl ester, (4E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



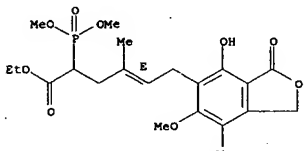
IT 31858-66-9 172151-41-6 172151-44-9
172151-52-9 172151-57-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of 5-substituted derivs. of mycophenolic acid as therapeutic agents for treatment of disease states)
RN 31858-66-9 CAPLUS
CN 4-Hexenoic acid, 6-(1,3-dihydro-4-hydroxy-6-methoxy-7-methyl-3-oxo-5-

L5 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



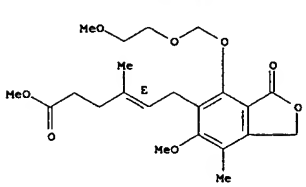
RN 172151-57-4 CAPLUS
CN 4-Hexenoic acid, 6-(1,3-dihydro-4-hydroxy-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl)-2-(dimethoxyphosphinyl)-4-methyl-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



IT 125198-47-2P 172151-13-2P 172151-15-4P
172151-16-5P 172151-45-0P 172151-55-2P
172151-60-7P 172152-14-6P 172152-15-7P
172152-16-8P 172152-17-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 5-substituted derivs. of mycophenolic acid as therapeutic agents for treatment of disease states)
RN 125198-47-2 CAPLUS
CN 4-Hexenoic acid, 6-(1,3-dihydro-6-methoxy-4-[(2-methoxyethoxy)methoxy]-7-methyl-3-oxo-5-isobenzofuranyl]-4-methyl-, methyl ester, (E)- (9CI) (CA INDEX NAME)

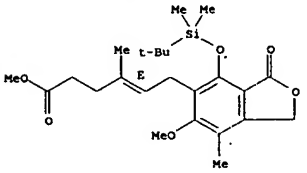
Double bond geometry as shown.



RN 172151-13-2 CAPLUS

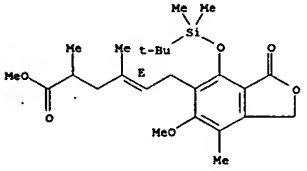
L5 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
CN 4-Hexenoic acid, 6-[4-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-1,3-dihydro-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl]-4-methyl-, methyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



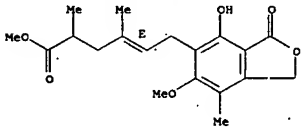
RN 172151-15-4 CAPLUS
CN 4-Hexenoic acid, 6-[4-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-1,3-dihydro-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl]-2,4-dimethyl-, methyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

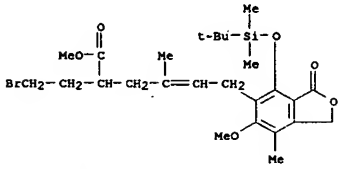


RN 172151-16-5 CAPLUS
CN 4-Hexenoic acid, 6-(1,3-dihydro-4-hydroxy-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl)-2,4-dimethyl-, methyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

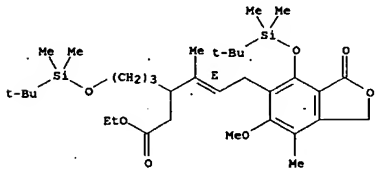


L5 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



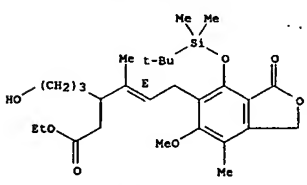
RN 172152-14-6 CAPLUS
CN 4-Hexenoic acid, 6-[4-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-1,3-dihydro-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl]-3-[3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]propyl]-4-methyl-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 172152-15-7 CAPLUS
CN 4-Hexenoic acid, 6-[4-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-1,3-dihydro-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl]-3-(3-hydroxypropyl)-4-methyl-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

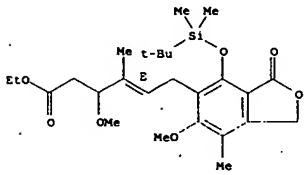
Double bond geometry as shown.



RN 172152-16-8 CAPLUS
CN 4-Hexenoic acid, 3-(3-bromopropyl)-6-[4-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-1,3-dihydro-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl]-4-methyl-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

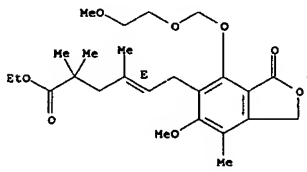
L5 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
RN 172151-45-0 CAPLUS
CN 4-Hexenoic acid, 6-[4-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-1,3-dihydro-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl]-3-methoxy-4-methyl-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 172151-55-2 CAPLUS
CN 4-Hexenoic acid, 6-(1,3-dihydro-6-methoxy-4-[(2-methoxyethoxy)methoxy]-7-methyl-3-oxo-5-isobenzofuranyl]-2,2,4-trimethyl-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

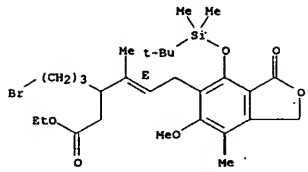
Double bond geometry as shown.



RN 172151-68-7 CAPLUS
CN 4-Hexenoic acid, 2-(2-bromoethyl)-6-[4-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-1,3-dihydro-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl]-4-methyl-, methyl ester (9CI) (CA INDEX NAME)

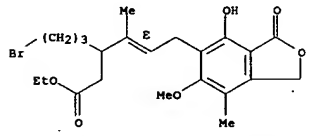
L5 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

Double bond geometry as shown.



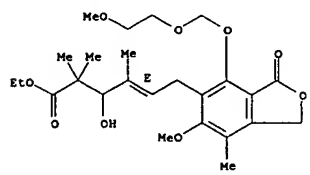
RN 172152-17-9 CAPLUS
CN 4-Hexenoic acid, 3-(3-bromopropyl)-6-(1,3-dihydro-4-hydroxy-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl)-4-methyl-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



IT 172151-40-5P 172151-43-8P 172151-51-6P
172151-54-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of 5-substituted derivs. of mycophenolic acid as therapeutic agents for treatment of disease states)
RN 172151-40-5 CAPLUS
CN 4-Hexenoic acid, 6-(1,3-dihydro-6-methoxy-4-[(2-methoxyethoxy)methoxy]-7-methyl-3-oxo-5-isobenzofuranyl]-3-hydroxy-2,2,4-trimethyl-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

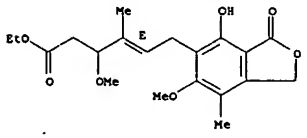
Double bond geometry as shown.



RN 172151-43-8 CAPLUS

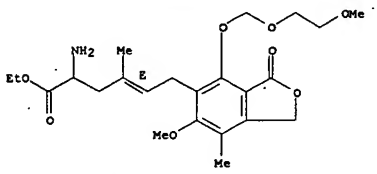
L5 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
CN 4-Hexenoic acid, 6-(1,3-dihydro-4-hydroxy-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl)-3-methoxy-4-methyl-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



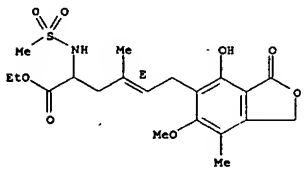
RN 172151-51-8 CAPLUS
CN 4-Hexenoic acid, 2-amino-6-[1,3-dihydro-6-methoxy-4-[(2-methoxyethoxy)methoxy]-7-methyl-3-oxo-5-isobenzofuranyl]-4-methyl-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 172151-54-1 CAPLUS
CN 4-Hexenoic acid, 6-(1,3-dihydro-4-hydroxy-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl)-4-methyl-2-[(methylsulfonyl)amino]-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

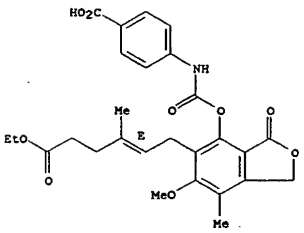
Double bond geometry as shown.



L5 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN — Na Procum
ED Entered STN: 08 Aug 1995
AB This is an initial study of the immunosuppressive efficacy of CAM, a derivative of mycophenolic acid, in a rat heart allograft model when the major histocompatibility complex was fully incompatible, and its effect in improving heart allograft survival compared with mycophenolate mofetil (MMF, RS-61443). CAM or MMF was administered orally from day 1 following the allografting for 40 days. The median survival times (MST) were 6 days in rats with no immunosuppressive drug (control group; n=6), 83 days with CAM 10 mg/kg (n=6), and >100 days with both 20 mg/kg (n=7), and 30 mg/kg (n=10). With MMF, in contrast, MST was 9, 17, 35, days with 10, 20, 30 mg/kg/day, resp. All grafts in the CAM 30 mg/kg-treated group survived for more than 100 days after grafting, and, furthermore, CAM was also more effective than MMF in prolongation of the heart graft survival in rats at each dose. Rats with long-surviving cardiac allografts (30 mg/kg; CAM) accepted skin grafts from the donor-strain but rejected them from the third-party strain, suggesting that donor-specific tolerance was induced by CAM. In the tolerant rats, proliferative response against donor type alloantigen was not impaired as compared with naive WKAH rats. In contrast, CML assay showed that T cells obtained from the rats bearing permanently accepted F344 heart grafts had less cytotoxic activity to the donor-type target, and the frequency of CTL precursor against donor-type alloantigen was also reduced.
ACCESSION NUMBER: 1995:724214 CAPLUS
DOCUMENT NUMBER: 123:187987
TITLE: CAM - a novel immunosuppressive agent
AUTHOR(S): Takazawa, Kenji; Hosoda, Yasuyuki; Bashuda, Hisashi; Yagita, Hideo; Okumura, Ko; Kaneko, Yutaro
CORPORATE SOURCE: School of Medicine, Juntendo University, Tokyo, 113, Japan
SOURCE: Transplantation (1995), 59(12), 1723-7
CODEN: TRPLAU; ISSN: 0041-1337
DOCUMENT TYPE: Journal
LANGUAGE: English
IT 40449-96-5, CAM
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(CAM immunosuppressive activity in heart allograft vs. mycophenolate mofetil)
RN 40449-96-5 CAPLUS
CN Benzoic acid, 4-[[[[5-[(2E)-6-ethoxy-3-methyl-6-oxo-2-hexenyl]-1,3-dihydro-6-methoxy-7-methyl-3-oxo-4-isobenzofuranyl]oxy]carbonyl]amino]- (9CI) (CA INDEX NAME)

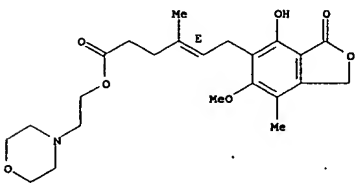
Double bond geometry as shown.

L5 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

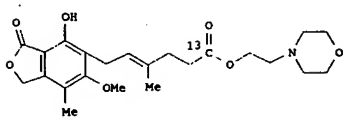


IT 128794-94-5, Mycophenolate mofetil
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(zCAM immunosuppressive activity in heart allograft vs. mycophenolate mofetil)
RN 128794-94-5 CAPLUS
CN 4-Hexenoic acid, 6-(1,3-dihydro-4-hydroxy-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl)-4-methyl-, 2-(4-morpholinyl)ethyl ester, (4E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L5 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 13 May 1995
GI



I

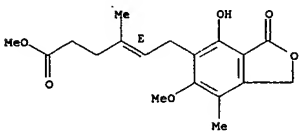
AB Synthesis of the potent immunosuppressive agent, mycophenolate mofetil (I) labeled with carbon-14 is described. Methoxyethoxymethyl (MEM) protected mycophenolate norbromide was prepared from unlabeled mycophenolic acid using a modified Hunsdiecker reaction. A three step synthesis furnished the title compound, having a specific activity of 53.8 mCi/mmol, in 49.5% overall yield from K14CN.

ACCESSION NUMBER: 1995:548349 CAPLUS
DOCUMENT NUMBER: 123:111784
TITLE: Synthesis of mycophenolate mofetil-[14C], RS-61443-14C
AUTHOR(S): Huang, Glenn T.; Parnes, Howard
CORPORATE SOURCE: Institute Organic Chemistry, Syntex Discovery Research, Palo Alto, CA, 94303, USA
SOURCE: Journal of Labelled Compounds & Radiopharmaceuticals (1995), 36(5), 449-56
CODEN: JLCRD4; ISSN: 0362-4803
PUBLISHER: Wiley
DOCUMENT TYPE: Journal
LANGUAGE: English

IT 31858-66-9P 125198-47-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of mycophenolate mofetil-[14C])

RN 31858-66-9 CAPLUS
CN 4-Hexenoic acid, 6-(1,3-dihydro-4-hydroxy-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl)-4-methyl-, methyl ester, (4E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

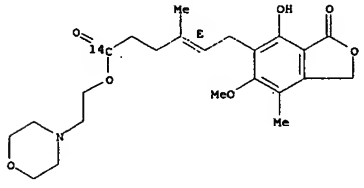


RN 125198-47-2 CAPLUS
CN 4-Hexenoic acid, 6-[1,3-dihydro-6-methoxy-4-[(2-methoxyethoxy)methoxy]-7-

L5 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

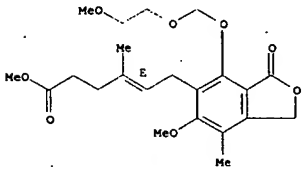
RN 165684-47-9 CAPLUS
CN 4-Hexenoic-1-14C acid, 6-(1,3-dihydro-4-hydroxy-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl)-4-methyl-, 2-(4-morpholinyl)ethyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L5 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
methyl-3-oxo-5-isobenzofuranyl]-4-methyl-, methyl ester, (E)- (9CI) (CA INDEX NAME)

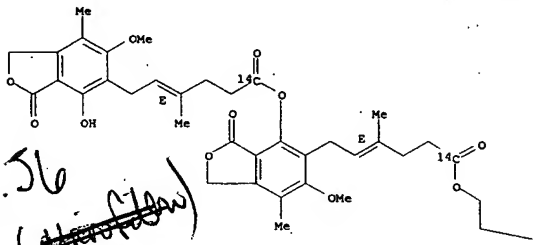
Double bond geometry as shown.



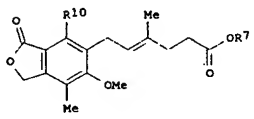
IT 165684-44-6P 165684-47-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of mycophenolate mofetil-[14C])

RN 165684-44-6 CAPLUS
CN 4-Hexenoic-1-14C acid, 6-(1,3-dihydro-4-hydroxy-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl)-4-methyl-, 1,3-dihydro-6-methoxy-7-methyl-5-[3-methyl-6-[2-(4-morpholinyl)ethoxy]-6-oxo-2-hexenyl-6-14C]-3-oxo-4-isobenzofuranyl ester, (E,E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L5 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 15 Feb 1995
GI



I

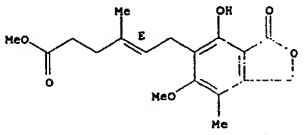
AB The disclosed derivs. of mycophenolic acid I (R7 = lower alkyl; R10 = OSO2CF3, CN, CO2H, NCO) are therapeutic agents (no data) advantageous in the treatment of disease states indicated for mycophenolic acid and/or mycophenolate mofetil and other immunosuppressant agents. Pharmaceutical formulations were given.

ACCESSION NUMBER: 1995:354681 CAPLUS
DOCUMENT NUMBER: 122:265175
TITLE: Derivatives of mycophenolic acid
INVENTOR(S): Sjogren, Eric B.
PATENT ASSIGNEE(S): Syntex (U.S.A.) Inc., USA
SOURCE: U.S., 31 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5380879	A	19950110	US 1994-198817	19940218
US 5441953	A	19950815	US 1994-311666	19940923
CA 2183529	AA	19950824	CA 1995-2183529	19950216
WO 9522535	A1	19950824	WO 1995-US1784	19950216
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, UG				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9519169	A1	19950904	AU 1995-19169	19950216
ZA 9501292	A	19960816	ZA 1995-1292	19950216
EP 745074	A1	19961204	EP 1995-911697	19950216
EP 745074	B1	20020102		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CN 1143366	A	19970219	CN 1995-191656	19950216
BR 9506820	A	19970909	BR 1995-6820	19950216
JP 09509171	T2	19970916	JP 1995-521865	19950216
IL 112664	A1	19990620	IL 1995-112664	19950216
AT 211467	E	20020115	AT 1995-911697	19950216
PT 745074	T	20020628	PT 1995-911697	19950216
ES 2170141	T3	20020801	ES 1995-911697	19950216
FI 9603219	A	19961011	FI 1996-3219	19960816
PRIORITY APPLN. INFO.:			US 1994-198817	A3 19940218
			WO 1995-US1784	W 19950216

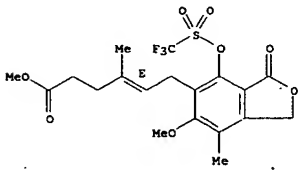
L5 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
OTHER SOURCE(S): MARPAT 122:265175
IT **31858-66-9P 162638-64-4P 162638-65-5P**
162638-67-7P 162638-68-8P 162638-82-6P
162638-84-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(mycophenolic acid derivs.)
RN 31858-66-9 CAPLUS
CN 4-Hexenoic acid, 6-(1,3-dihydro-4-hydroxy-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl)-4-methyl-, methyl ester, (4E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 162638-64-4 CAPLUS
CN 4-Hexenoic acid, 6-[1,3-dihydro-6-methoxy-7-methyl-3-oxo-4-[[(trifluoromethyl)sulfonyl]oxy]-5-isobenzofuranyl]-4-methyl-, methyl ester, (E)- (9CI) (CA INDEX NAME)

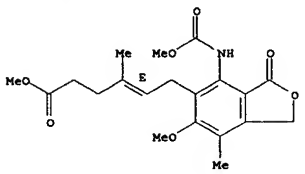
Double bond geometry as shown.



RN 162638-65-5 CAPLUS
CN 4-Hexenoic acid, 6-(4-cyano-1,3-dihydro-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl)-4-methyl-, methyl ester, (E)- (9CI) (CA INDEX NAME)

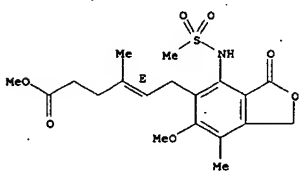
Double bond geometry as shown.

L5 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



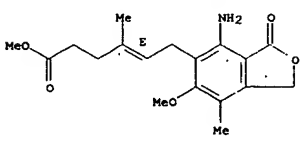
RN 162638-84-8 CAPLUS
CN 4-Hexenoic acid, 6-[1,3-dihydro-6-methoxy-7-methyl-4-[(methylsulfonyl)amino]-3-oxo-5-isobenzofuranyl]-4-methyl-, methyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



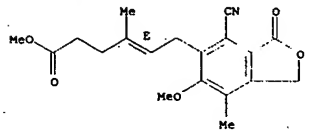
IT **162638-70-2P 162638-72-4P 162638-74-6P**
162638-79-1P
RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(mycophenolic acid derivs.)
RN 162638-70-2 CAPLUS
CN 4-Hexenoic acid, 6-(4-amino-1,3-dihydro-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl)-4-methyl-, methyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



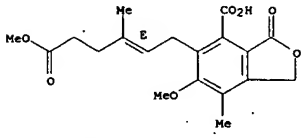
RN 162638-72-4 CAPLUS
CN 4-Hexenoic acid, 6-[4-[[(dimethylamino)carbonyl]amino]-1,3-dihydro-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl]-4-methyl-, methyl ester, (E)-

L5 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



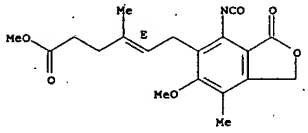
RN 162638-67-7 CAPLUS
CN 4-Isobenzofurancarboxylic acid, 1,3-dihydro-6-methoxy-5-(6-methoxy-3-methyl-6-oxo-2-hexenyl)-7-methyl-3-oxo-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 162638-68-8 CAPLUS
CN 4-Hexenoic acid, 6-(1,3-dihydro-4-isocyanato-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl)-4-methyl-, methyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

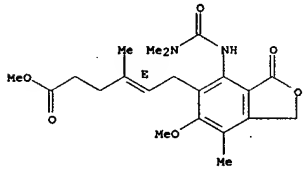


RN 162638-82-6 CAPLUS
CN 4-Hexenoic acid, 6-[1,3-dihydro-6-methoxy-4-[(methoxycarbonyl)amino]-7-methyl-3-oxo-5-isobenzofuranyl]-4-methyl-, methyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

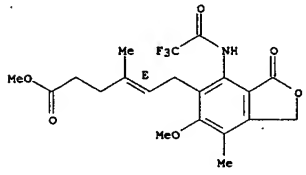
L5 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
(9CI) (CA INDEX NAME)

Double bond geometry as shown.



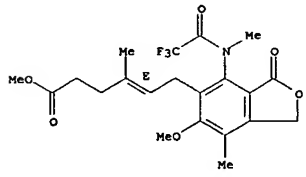
RN 162638-74-6 CAPLUS
CN 4-Hexenoic acid, 6-[1,3-dihydro-6-methoxy-7-methyl-3-oxo-4-[(trifluoroacetyl)amino]-5-isobenzofuranyl]-4-methyl-, methyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 162638-79-1 CAPLUS
CN 4-Hexenoic acid, 6-[1,3-dihydro-6-methoxy-7-methyl-4-[methyl(trifluoroacetyl)amino]-3-oxo-5-isobenzofuranyl]-4-methyl-, methyl ester, (E)- (9CI) (CA INDEX NAME)

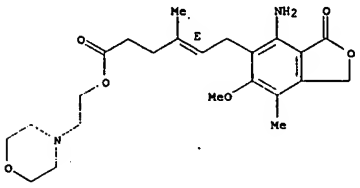
Double bond geometry as shown.



IT **162638-71-3P 162638-75-7P 162638-76-8P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological

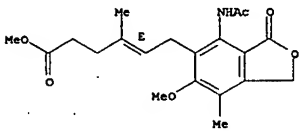
L5 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
study); PREP (Preparation); USES (Uses)
(mycophenolic acid derivs.)
RN 162638-71-3 CAPLUS
CN 4-Hexenoic acid, 6-(4-amino-1,3-dihydro-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl)-4-methyl-, 2-(4-morpholinyl)ethyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



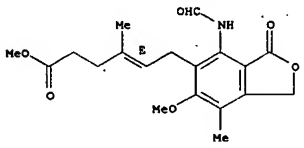
RN 162638-75-7 CAPLUS
CN 4-Hexenoic acid, 6-[4-(acetylamino)-1,3-dihydro-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl]-4-methyl-, methyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 162638-76-8 CAPLUS
CN 4-Hexenoic acid, 6-[4-(formylamino)-1,3-dihydro-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl]-4-methyl-, methyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L5 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	44.91	368.21

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-6.57	-6.57

STN INTERNATIONAL LOGOFF AT 19:33:21 ON 29 JUN 2005